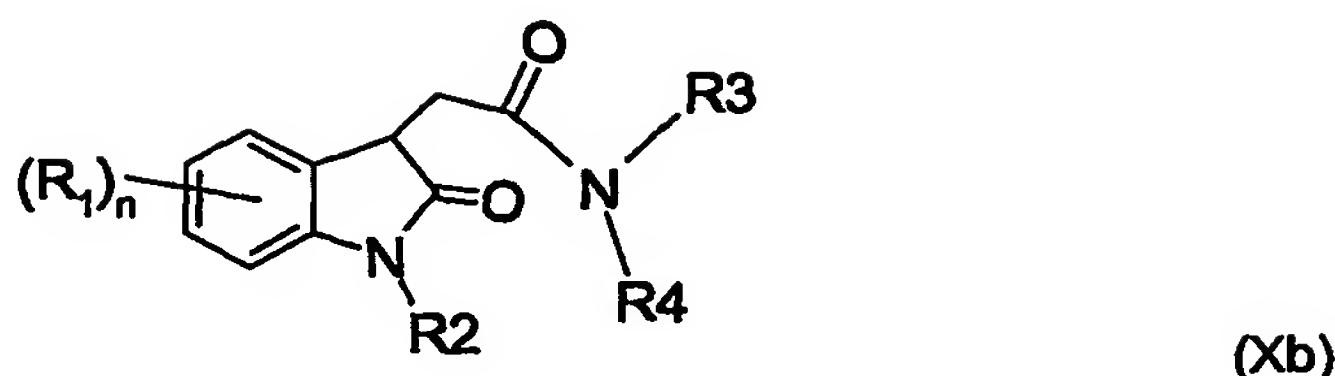


Applicant  
Anthoni Sandø

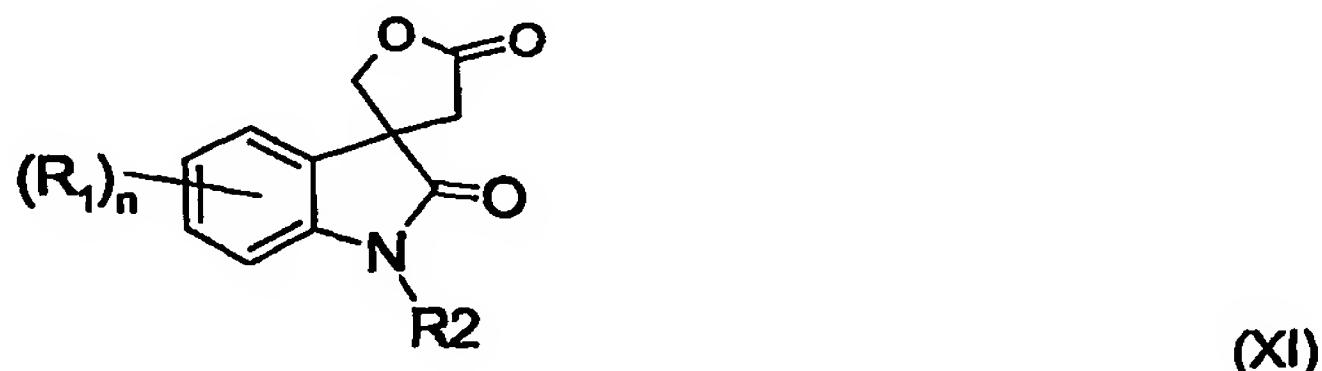
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wherein n, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for a compound of the formula Xa in claim 13.

15. A method according to any one of claims 1 to 4, where a compound of the formula Xb as defined in claim 14 is obtained by hydrogenation of the benzylic 3-hydroxy group in a compound of the formula II.

16. A method for preparing a spiro indole of the formula XI



comprising converting a compound of the formula Xb as defined in claim 14 to a spiro indole of the formula XI by reaction with formaldehyde or a precursor thereof,

wherein n, R<sub>1</sub> and R<sub>2</sub> are as defined in claim 14.

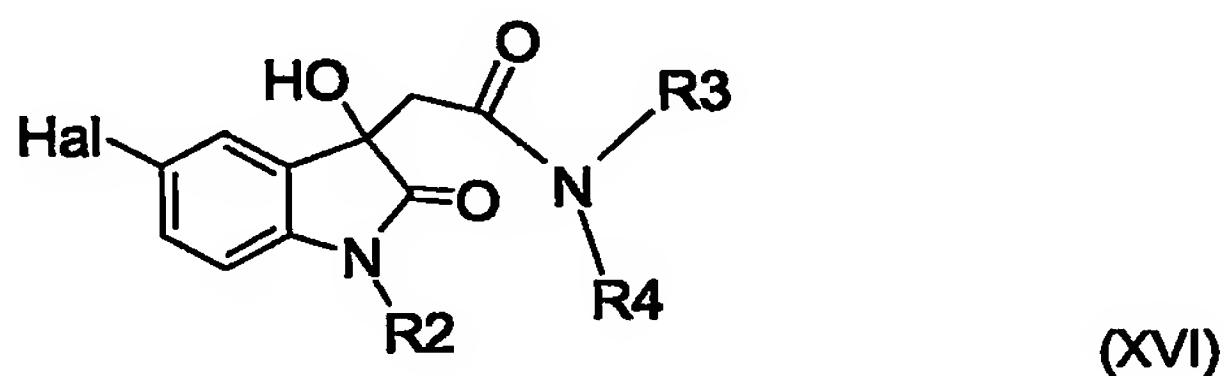
17. A compound of the formulae VII or VIII as defined in claim 11 or of the formula IX as defined in claim 12 or of the formula Xa as defined in claim 13 or of the formula Xb as defined in claim 14 or of the formula XI as defined in claim 16. .

18. A method according to any one of claims 1 to 3, further comprising reducing a compound of the formula II wherein n, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are, independently of each other, as defined in claim 1, and R<sub>1</sub> is unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocycl, alkylsulfonyl, sulfonyl alkyl, N-mono- or N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, nitro, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, unsubstituted or substituted alkoxy carbonyl, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkenyl, unsubstituted or substituted alkynyl, unsubstituted or substituted

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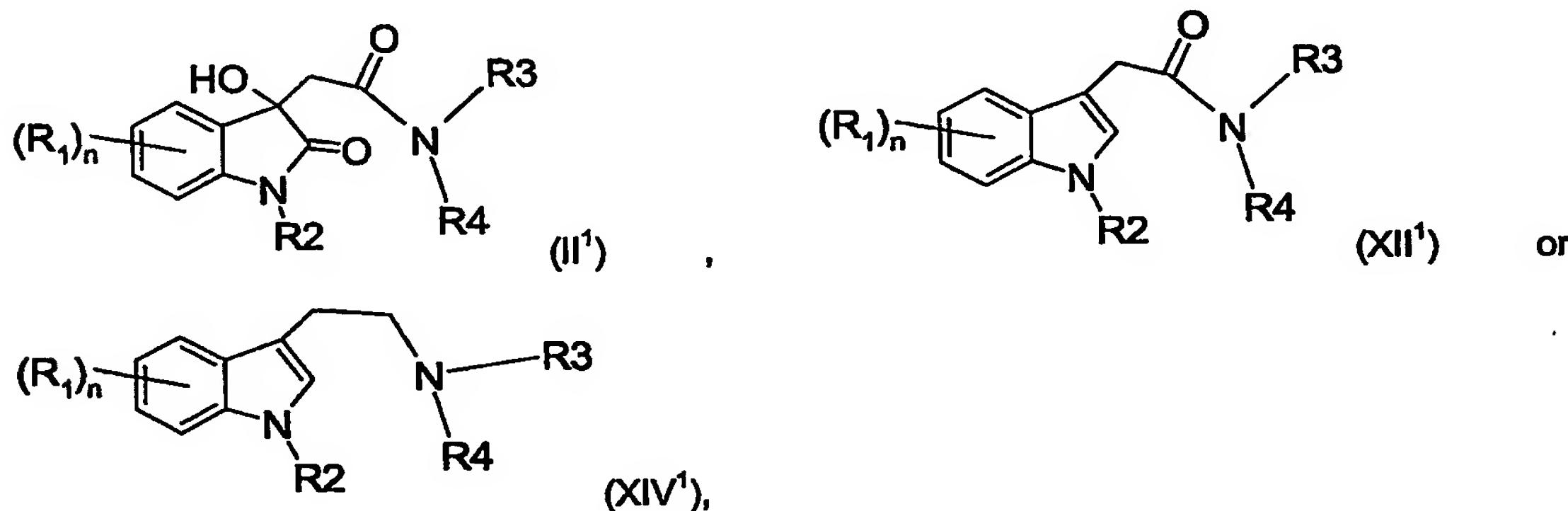
of the corresponding acyl moieties; or the silyl derivatives are introduced using the corresponding silylhalogenides, respectively.

25. A process for the introduction into a compound of the formula II as defined in claim 18 where n is zero and the other substituents are as defined in claim 1 or 3, of a moiety R<sub>1</sub> resulting from electrophilic substitution reaction with a halogen R<sub>1</sub> by reaction with a halo-succinimide, or nitro by reaction with nitric acid, leading to a compound of the formula XVI,



wherein Hal is nitro or halogen, and R2, R3 and R4 have the meanings given for a compound of the formula II.

26. A process for the manufacture of a compound of the formula II<sup>1</sup>, XII<sup>1</sup> or XIV<sup>1</sup>, respectively,



wherein n is 1 or 2, R<sub>1</sub> is unsubstituted or substituted aryl or unsubstituted or substituted heterocyclyl and R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> have the meanings given under formula II in claim 1 or 3, comprising reacting a compound of the formula II as defined in claim 18 for the synthesis of compound II<sup>1</sup>, or of the formula XII as defined in any one of claims 19, 20 or 24 for the synthesis of compound XII<sup>1</sup>, or of the formula XIV as defined in any one of claims 22, 23 or 24 for the synthesis of compound XIV<sup>1</sup>, wherein in each case n is 1 or 2 and R<sub>1</sub> is halogen,

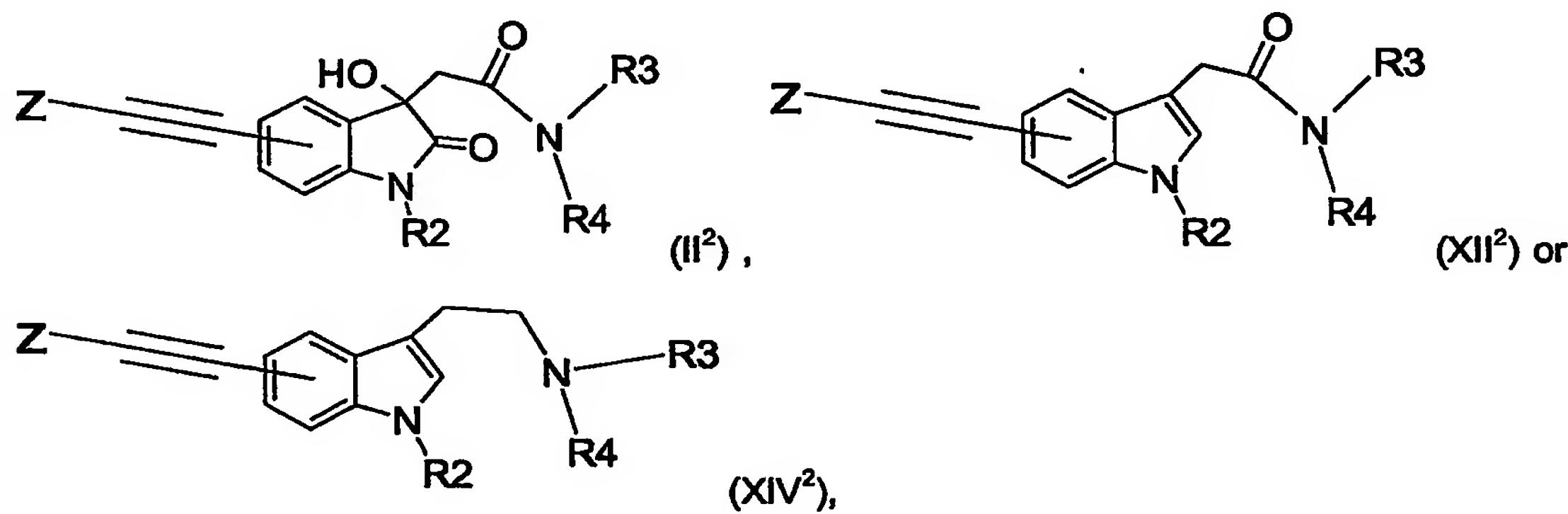
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under the conditions of the Suzuki coupling or analogous conditions with a compound of the formula (A),

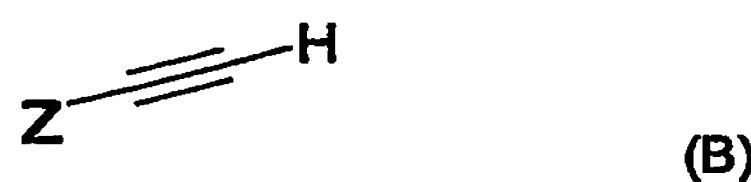


wherein Ar is unsubstituted or substituted aryl or heterocycl and Y is OH, into the corresponding compounds of the formulae II<sup>1</sup>, XII<sup>1</sup> or XIV<sup>1</sup>, respectively.

27. A process for the reaction of a compound of the formula II as defined in claim 18, of the formula XII as defined in any one of claims 19, 20 or 24, or of a compound of the formula XIV as defined in any one of claims 22, 23 or 24, with the proviso that in each of the compounds of the formulae II, XII and XIV, n is 1 and R1 is halogen, to a compound of the formulae II<sup>2</sup> from compound II, to a compound of the formula XII<sup>2</sup> from compound XII or to a compound of the formula XIV<sup>2</sup> from compound XIV, respectively,



wherein Z is unsubstituted or substituted alkyl, and R2, R3 and R4 are as defined under formula II, respectively, by coupling under the conditions of or analogous to a Sonogashira coupling with a compound of the formula (B),

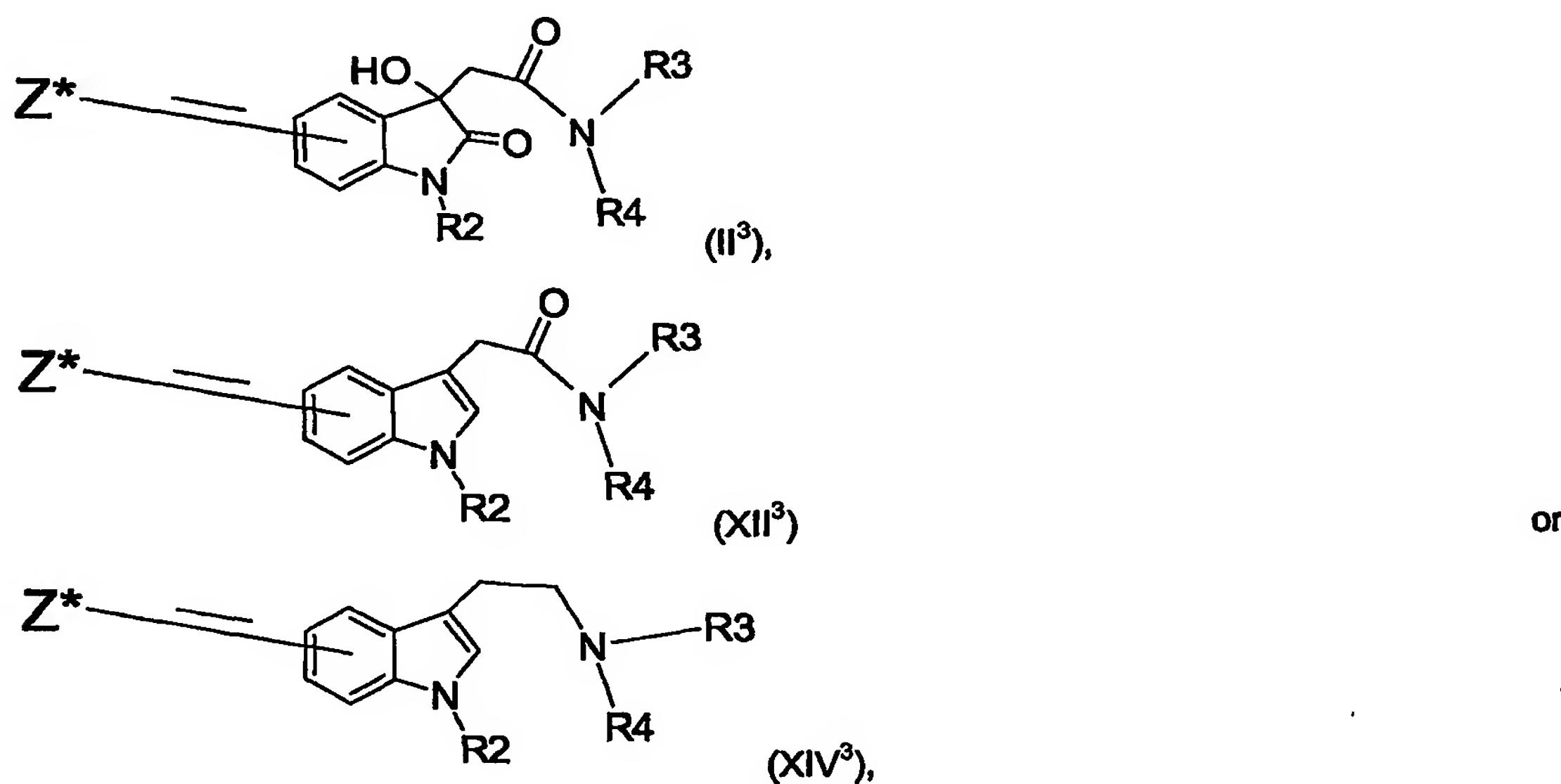


wherein Z is unsubstituted or substituted alkyl, to yield the corresponding compounds of the formulae II<sup>2</sup>, XII<sup>2</sup> or XIV<sup>2</sup>, respectively.

28. A process for the reaction of compounds of the formula II as defined in claim 22, of the formula XII as defined in any one of claims 19, 20 or 24, or of compounds of the formula

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XIV as defined in any one of claims 22, 23 or 24, with the proviso that in each of the compounds of the formulae II, XII and XIV n is 1 and R1 is halogen, to compounds of the formulae II<sup>3</sup> (from compound II), XII<sup>3</sup> (from compound XII) or XIV<sup>3</sup> (from compound XIV) respectively,



wherein Z\* is unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, (Y)<sub>2</sub>N-sulfonyl wherein each Y, independently of the other, is hydrogen or unsubstituted or substituted alkyl; or Z\* is alkoxy carbonyl, cyano or unsubstituted or substituted heterocyclyl, and R2, R3 and R4 are as defined for compounds of the formula II,

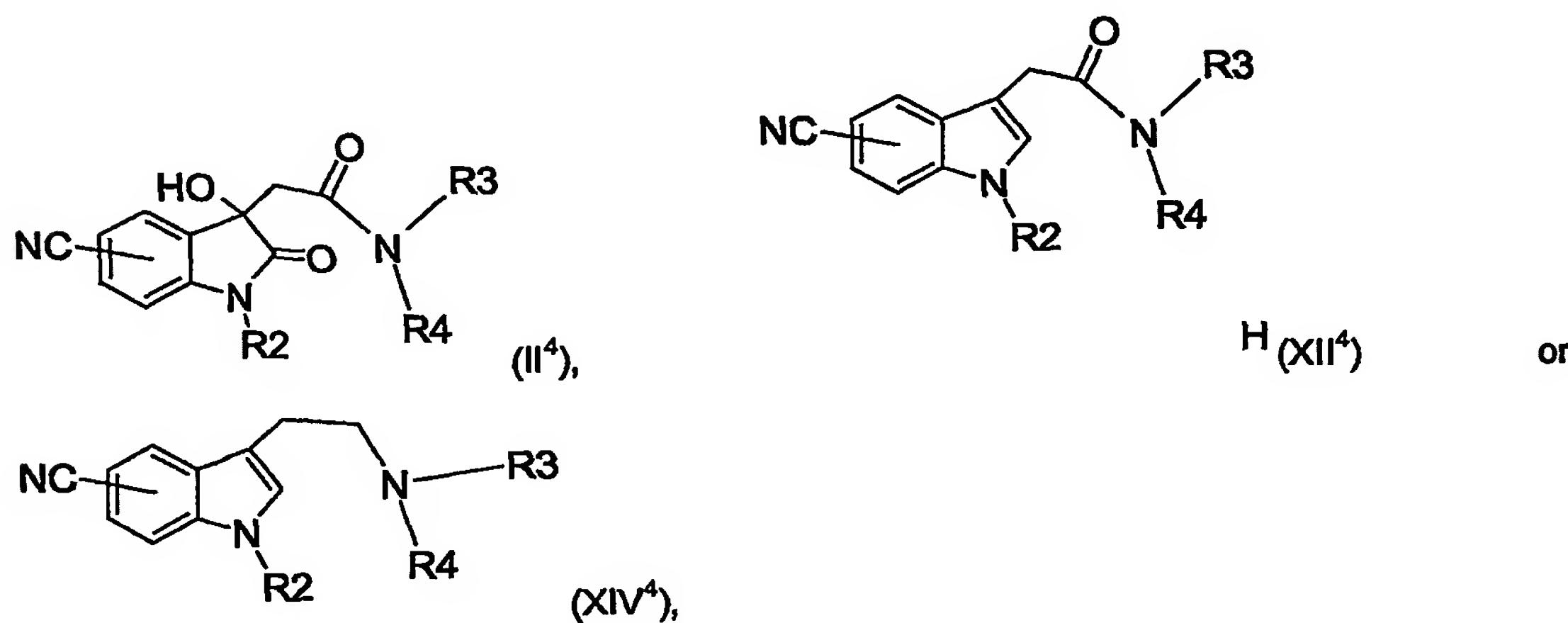
by coupling with a compound of the formula (C),



wherein Z\* is as just defined under conditions of or analogous to the Heck reaction to yield the corresponding compounds of the formulae II<sup>3</sup>, XII<sup>3</sup> or XIV<sup>3</sup>, respectively.

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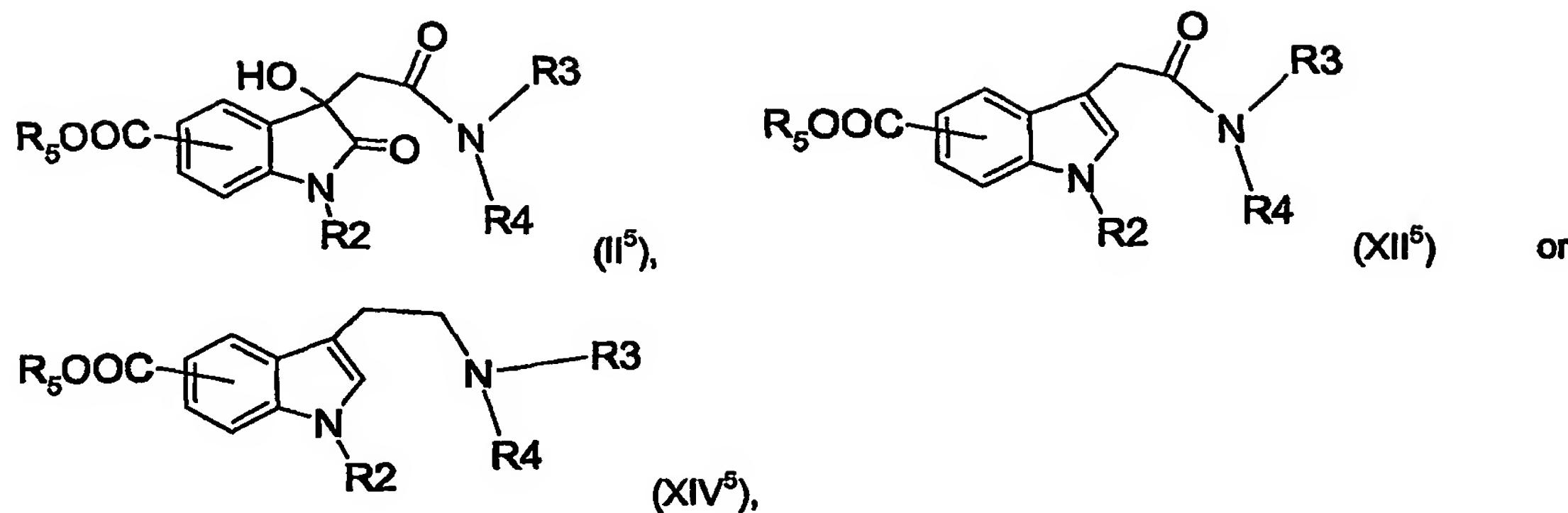
29. A process for the reaction of compounds of the formula II as defined in claim 22, of the formula XII as defined in any one of claims 19, 20 or 24, or of compounds of the formula XIV as defined in any one of claims 22, 23 or 24, with the proviso that in each of the compounds of the formulae II, XII and XIV n is 1 and R1 is halogen, to compounds of the formulae II<sup>4</sup> (from compound II), XII<sup>4</sup> (from compound XII) or XIV<sup>4</sup> (from compound XIV) respectively,



wherein R2, R3 and R4 are as defined above for a compound of the formula II, by reaction with a cyanide salt in the presence of a palladium catalyst..

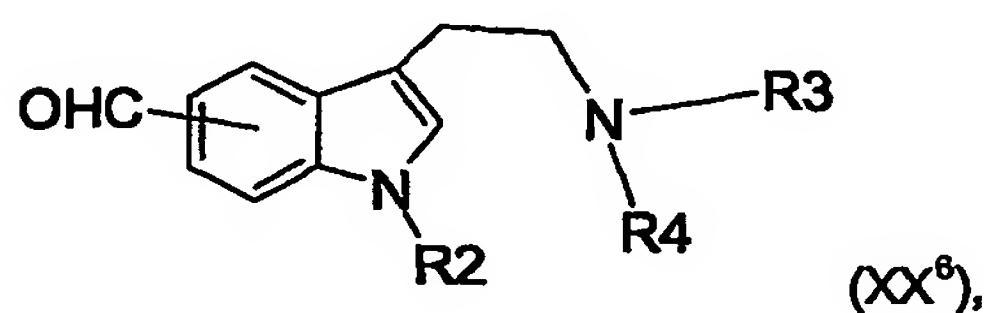
30. A process for the reaction of compounds of the formula II as defined in claim 22, of the formula XII as defined in any one of claims 19, 20 or 24, or of compounds of the formula XIV as defined in any one of claims 22, 23 or 24, with the proviso that in each of the compounds of the formulae II, XII and XIV n is 1 and R1 is halogen to compounds of the formulae II<sup>5</sup> (from compound II), XII<sup>5</sup> (from compound XII) or XIV<sup>5</sup> (from compound XIV) respectively,

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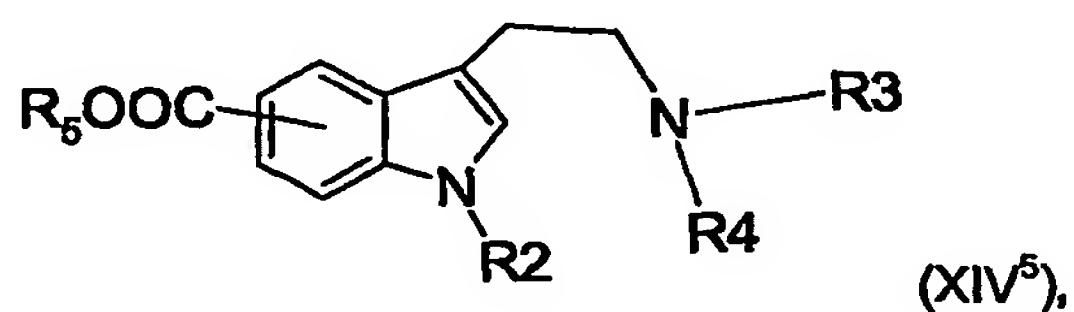
wherein R<sub>5</sub> is unsubstituted or substituted alkyl, or unsubstituted or substituted aryl, and R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for the compounds of the formula II, by reaction with CO in the presence of the corresponding alcohol R<sub>5</sub>-OH.

31. A process for the reaction of a compound of the formula XIV as defined in any one of claims 22, 23 or 24 where n is 1 and R<sub>1</sub> is halogen, comprising converting it into the corresponding compound of the formula XX<sup>6</sup>,



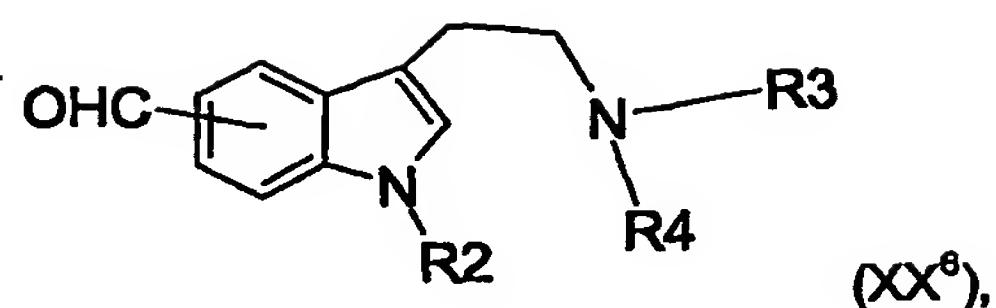
wherein R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for the compound of the formula XIV, by reaction with first a lithium alkyl compound to form the lithio derivative and then with DMF or triethyl formate, to obtain the compound of the formula XX<sup>6</sup> after hydrolysis.

32. A compound of the formula XIV<sup>5</sup>



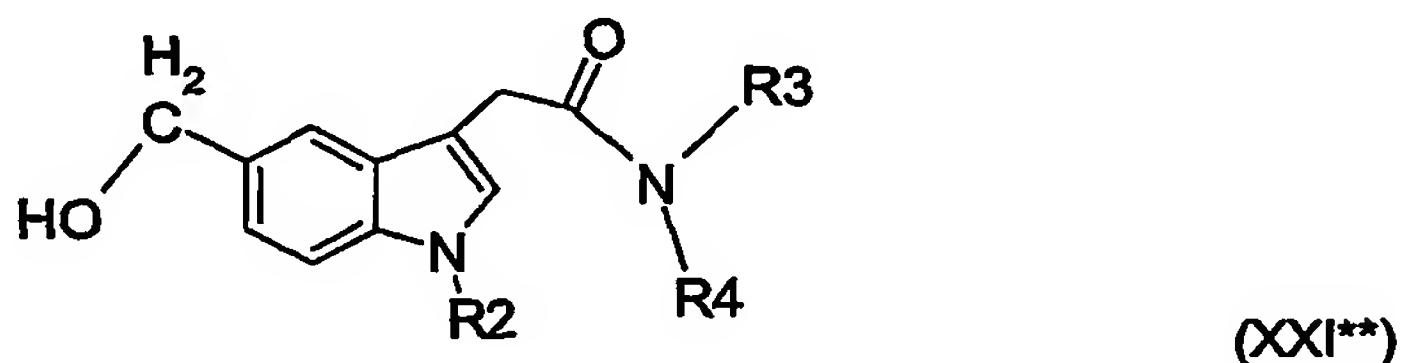
or of the formula XX<sup>6</sup>

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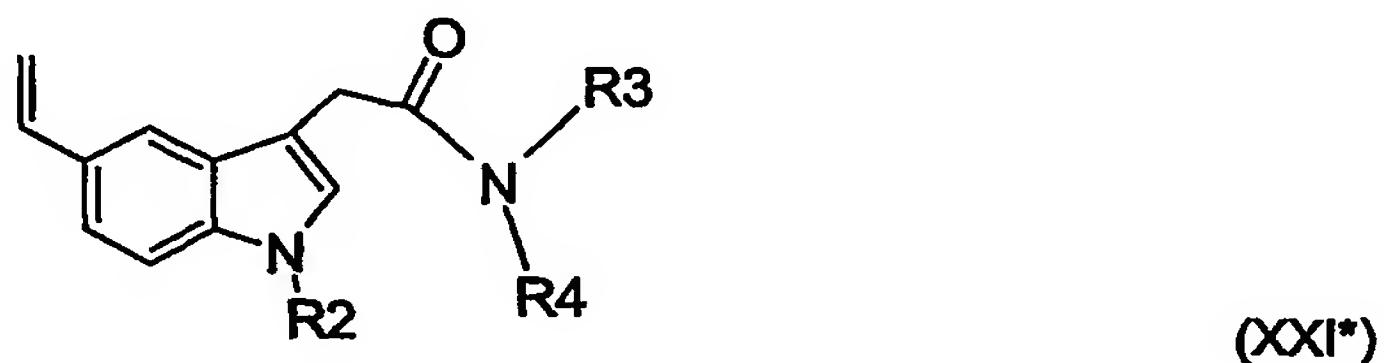
wherein R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are as defined in claim 1 for formula II, provided that one of R<sub>3</sub> or R<sub>4</sub> is not methyl and R<sub>3</sub> and R<sub>4</sub> together are not phthalyl, or a salt thereof.

**33. A process for the manufacture of a compound of the formula XXI\*\***



wherein R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> have the meanings indicated for compounds of the formula XX<sup>6</sup> in claim 31, by reduction of the compound of the formula XX<sup>6</sup> in the presence of a selective transition metal catalyst.

**34. A process for the manufacture of a compound of the formula XXI\*,**

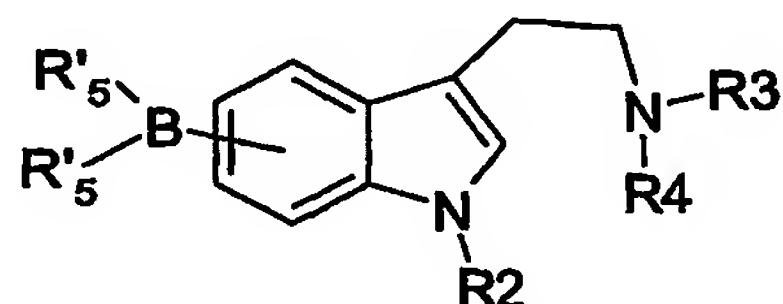


wherein R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> have the meanings indicated for compounds of the formula XX<sup>6</sup> in claim 31,

by conversion of a compound of the formula XX<sup>6</sup> as defined in claim 31 into the corresponding compound of the formula XXI\* by reaction with a Wittig or Wittig Horner reagent in the presence of a suitable base.

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35. A process for the reaction of a compound of the formula XIV as defined in any one of claims 22, 23 or 24 where  $n$  is 1 and  $R_1$  is halogen, comprising converting it into the corresponding compound of the formulae XX<sup>7</sup>,



(XX<sup>7</sup>)

wherein R2, R3 and R4 are as defined for the compound of the formula XIV, and each of R'5 independently is hydroxy or an alkoxy residue of a lower alcohol, or the 2 residues R'5 together are C<sub>2</sub>-C<sub>8</sub>alkylene-dioxy,

by reaction with first a lithium alkyl compound to form the lithio derivative, and then with an ester of boric acid B,



wherein each of R5 and R6 independently is an alkoxy residue of a lower alcohol, or the 2 residues R5 together are C<sub>2</sub>-C<sub>8</sub>alkylene-dioxy,

and subsequent hydrolysis, to obtain the compound of the formula XX<sup>7</sup>.

36. A compound of any of the formulae XIIIa, XVI, II<sup>1</sup>, XII<sup>1</sup>, XIV<sup>1</sup>, II<sup>2</sup>, XII<sup>2</sup>, XIV<sup>2</sup>, II<sup>3</sup>, XII<sup>3</sup>, XIV<sup>3</sup>, II<sup>4</sup>, XII<sup>4</sup>, II<sup>5</sup>, XII<sup>5</sup>, XX<sup>7</sup>, XXI\* or XXI\*\* as defined in claims 21, 25, 26, 27, 28, 29, 30, 33, 34, 35, or a salt thereof.